

WHAT IS CLAIMED IS:

- 1 1. A method of inhibiting a glycosyltransferase, the method comprising
2 contacting the glycosyltransferase with a non-carbohydrate compound that mimics the
3 hydrophobic structure of a sugar recognized by the glycosyltransferase.

- 1 2. The method of claim 1, wherein the sugar is on an acceptor substrate.

- 1 3. The method of claim 1, wherein the sugar is on a donor substrate.

- 1 4. The method of claim 1, wherein the compound comprises an aryl or
2 heteroaryl moiety.

- 1 5. The method of claim 4, wherein the heteroaryl moiety is selected from
2 the group consisting of a thiophene, pyridine, isoxazole, phthalimide, pyrazole, indole,
3 quinolines, phenothiazines, carbazoles, benzopyranones, and furan group.

- 1 6. The method of claim 4, wherein the compound comprises an aryl
2 moiety.

- 1 7. The method of claim 1, wherein the glycosyltransferase is a
2 fucosyltransferase.

- 1 8. The method of claim 1, wherein the glycosyltransferase is a
2 sialyltransferase.

- 1 9. The method of claim 1, wherein the glycosyltransferase is an *N*-
2 acetylglucosaminyltransferase.

- 1 10. The method of claim 1, wherein the glycosyltransferase is in a cell.

- 1 11. The method of claim 1, wherein the step of contacting is carried out *in*
2 *vitro*.

- 1 12. A method of identifying a glycosyltransferase inhibitor, the method
2 comprising contacting the glycosyltransferase, an acceptor substrate, and a donor substrate
3 with a non-carbohydrate test compound that mimics the hydrophobic structure of a sugar

4 recognized by the glycosyltransferase and determining the degree to which the activity of the
5 glycosyltransferase is inhibited in the presence of the test compound.

1 13. The method of claim 12, wherein the sugar is on an acceptor substrate.

1 14. The method of claim 12, wherein the sugar is on a donor substrate.

1 15. The method of claim 12, wherein the activity of the glycosyltransferase
2 is determined using an antibody that is specifically immunoreactive with a product of the
3 reaction catalyzed by the glycosyltransferase.

1 16. The method of claim 12, which is an ELISA format.

1 17. The method of claim 12, wherein the glycosyltransferase is expressed
2 in a recombinant cell.

1 18. The method of claim 12, wherein the donor substrate or acceptor
2 substrate is labeled.

1 19. The method of claim 18, wherein the label is a radioactive label.

1 20. The method of claim 18, wherein the label is a fluorescent label.

1 21. The method of claim 19, which is a radioactive column assay.

1 22. The method of claim 12, wherein the glycosyltransferase is a
2 fucosyltransferase.

1 23. The method of claim 12, wherein the glycosyltransferase is a
2 sialyltransferase.

1 24. The method of claim 12, wherein the glycosyltransferase is an *N*-
2 acetylglucosaminyltransferase.

1 25. The method of claim 12, wherein the compound comprises a heteroaryl
2 moiety.

1 26. The method of claim 25, wherein the heteroaryl moiety is selected
2 from the group consisting of a thiophene, pyridine, isoxazole, phthalimide, pyrazole, indole,
3 quinolines phenothiazines, carbazoles, benzopyranones, and furan group.

1 27. The method of claim 12, wherein the compound comprises an aryl
2 moiety.

1 28. A pharmaceutical composition comprising a pharmaceutically
2 acceptable excipient and a non-carbohydrate compound that mimics the hydrophobic
3 structure of a sugar recognized by the glycosyltransferase.

1 29. The composition of claim 28, wherein the sugar is on an acceptor
2 substrate.

1 30. The composition of claim 28, wherein the sugar is on a donor
2 substrate.

1 31. The composition of claim 28, wherein the compound comprises a
2 heteroaryl moiety.

1 32. The composition of claim 32, wherein the heteroaryl moiety is selected
2 from the group consisting of a thiophene, pyridine, isoxazole, phthalimide, pyrazole, indole,
3 quinolines phenothiazines, carbazoles, benzopyranones, and furan group.

1 33. The composition of claim 28, wherein the compound comprises an aryl
2 moiety.

1 34. The composition of claim 28, wherein the glycosyltransferase is a
2 fucosyltransferase.

1 35. The composition of claim 28, wherein the glycosyltransferase is a
2 sialyltransferase.